

(19) (KR)
(12) (A)

(51) 。 Int. Cl.⁷ (11) 10-2005-0008835
C07D 205/08 (43) 2005 01 21

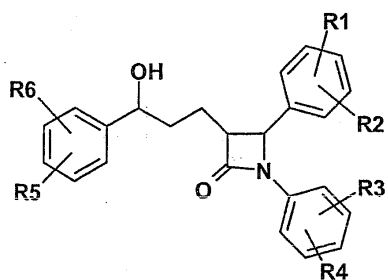
(21)	10-2004-7020643		
(22)	2004 12 17		
	2004 12 17		
(86)	PCT/EP2003/005816	(87)	WO 2004/000805
(86)	2003 06 04	(87)	2003 12 31

(30)	10227508.4	2002 06 19	(DE)
(71)	- 65929	50	
(72)	65929	22	
	65510 -	3	
	- 4054	142	
	65812	4	
	65719	42	
	55130 -	- -	19
	55270	74	
	-		
	65239	가 7	

(74)

:

(54) , ,



R1, R2, R3, R4, R5 R6

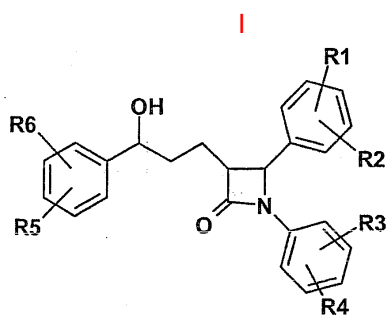
가 ()
[: Drugs of the Future 2000, 25(7):679-685)

5,756,470]

가

가 10% , 5%

2- {[4-(4-{1-(4-)-3-[3-(4-)-3-
R1-R6 -O-(CH₂)₁₋₁₀-COOH, (C₁-C₆
)-COOH -COOH]-4-



가

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, 0.1mg/kg, 0.1mg

, 100mg(, 0.01 100mg, 0.1mg 50mg) , 0.1 10mg/kg .

, 0.02 50mg

-

,

% 95 %

가

0.05 가

/

(,)

가

가

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가

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가

[: Rote Liste 2001, Chapter 12]

Lantus HMR 1964, GLP-1 [: WO 98/08871] /
 . / , [: WO 97/26265 WO 99/03861]
 / , , GLP-1 , ; , / ,
 , , PPAR PXR (

	ATP	.	
	,		,
,	,		HMGCoA
	,		,
	.		
PPAR	,		, JTT -501, GI 262570
	,		, GW 9578, GW 7647 PPAR
	.		
PPAR /	,		, GW 1536, AVE 8042, AVE 8134, AVE 0847
	,		,
	.		
	,		, Bay 13-9952, BMS-201038, R - 103757 MTP
	.		
	,		, HMR 1453
	,		, Bay 194789 CETP
	,		,
	.		
	,		, HMR1171, HMR1586 LDL
	.		
	,		, ACAT
	,		, OPC -14117
	,		, NO -1886
	.		
	,		, SB -204990 ATP
	.		
	,		, BMS -188494
	.		
	,		, CI -1027
	.		
	,		
,			,
	.		
,			

, | , .

: WO 97/41097] [Dr. Reddy's Research Foundation] , 5- [[4- [(3,4-
-3- -4- -2- -]]] -2,4-
.

, | , -
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, | , , , ,
, ATP- .

, | , , , ,
, , , , , ,
, , , .

가 , | , CART , NPY , MC3 MC4 , , H3 ,
TNF , CRF , CRF BP , 3- , MCH() , CC ,
K , , , , 5HT , ,
(, ,), / , TRH , PPAR , RXR 2 3 , DA
.

, 가 .

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, 가 .

, 가 .

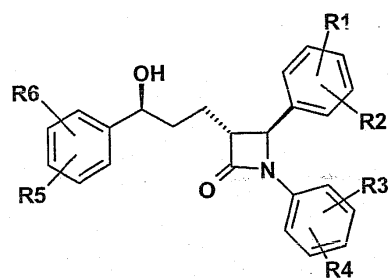
, 가 .

aromax , | , , Caromax . C
, Caromax | Caromax ,
, Caromax , | , , LDL
.

가 .

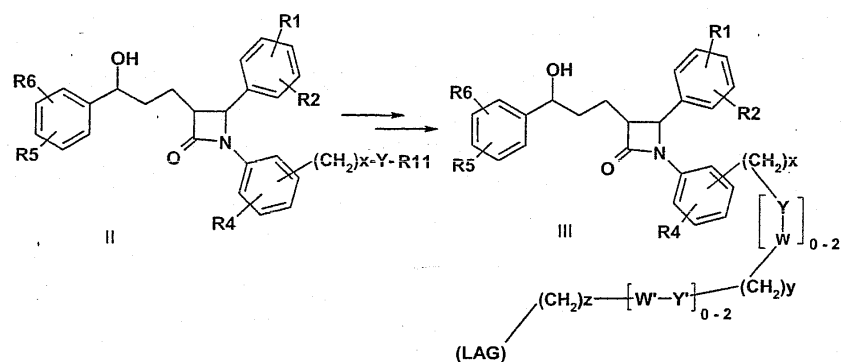
가 | | | .

| 가 .



Fmoc) t- 2-(3,5- (BOC)) (2) (Ddz) (Trt) 9- (Z) , 3M (

가 , I



Y S, O, (C=O), (C=S), CH=CH, C C, N((C₁-C₆)-), N(), N((C₁-C₆)-), N(CO-(CH₂)₁₋₁₀-COOH) NH ;

R11 H Y가 (C=O) (C=S) OH ;

W, Y' W' Y -S(O)_n-(, n 0 2), -O-, -(C=O)-, -(C=S)-, -CH=CH-, -C C-, -N((C₁-C₆)-), N(), N((C₁-C₆)-), N(CO-(CH₂)₁₋₁₀-COOH) -NH-

x, y z 0 10 .

II , -(CH₂)_x-Y-R11 2 .

I , II , 가 () , 가 (LAG) .

I

4-{4-[3-[3-(4- -3-]-2-(4-)-4- -1-] } -1- (6):

4-[3-(3-(4-)-3-)-2-(4-)-4- -1-]- 1.22g
90ml 10ml 60 10bar

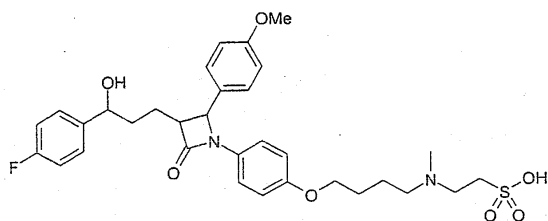
8 , / / 10/1/0.1 ,
434.51 1-(4-)-3-[3-(4-)-3-]-4
-(4-)- -2- (5)(C₂₆H₂₇FN₂O₃) ; MS(ESI):418.2(MH⁺ -NH₃).

f) 4-{4-[3-[3-(4-)-3-]-2-(4-)-4- -1-] }
-1- (6):

87mg 3ml 1,4- 40μl 가
12 가 . (; /
85/15 +10%) . 570.69 4-{4-[3-[3-(4-)-3-
]-2-(4-)-4- -1-] } -1- (6)(C₃₀H₃₅FN₂O₆S)
; MS(ESI):553.28(MH⁺ -H₂O).

II

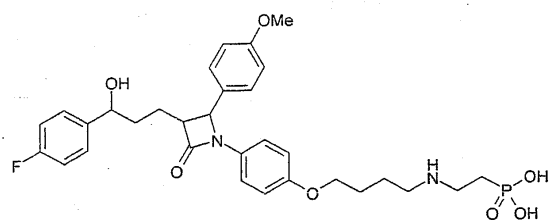
2-[(4-{4-[3-[3-(4-)-3-]-2-(4-)-4- -1-] }
(8):



3-[3-(4-)-3-]-1-[4-(4-)]-4-(4-) -2-
(7) 130mg 6ml 2ml N- 120mg 60mg 가
24 50 .
(50mg) . C₃₂H₃₉FN₂O₇S ESIMS m/
z:614(M⁺)

III

[2-(4-{4-[3-[3-(4-)-3-]-2-(4-)-4- -1-] }
(9):



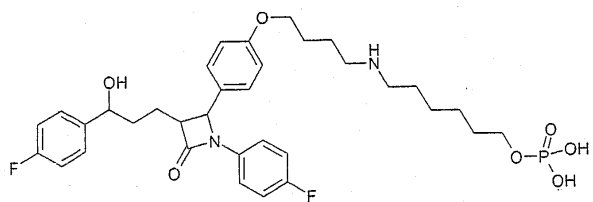
3-[3-(4-)-3-]-1-[4-(4-)]-4-(4-) -2-
(7) 200mg 6ml 3ml 1- 165mg
247mg 가 8 90 .
(47mg) .

C₃₁H₃₈FN₂O₇P ESIMS m/z:600(M⁺).

IV

-{6-[4-(4-{1-(4-)-3-[3-(4-)-3-]-4-

-2- })] } (10):

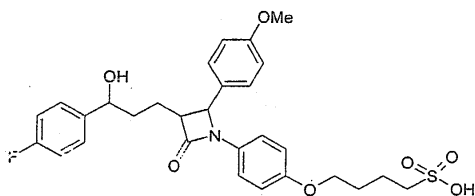


1-(4-)] -2- (7) 115mg 6ml 가 70 .]-4-[4-(2- 1.5ml 6- -1- 130mg 107mg .

$C_{34}H_{43}F_2N_2O_7P$ ESIMS m/z : 660(M^+)

V

4-{4-[3-[3-(4-)-3-]-2-(4-)-4- -1-] } -1- (12):

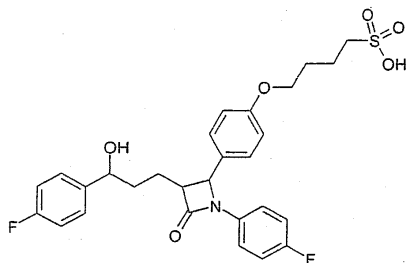


3-[3-(4-)-3-]-1-(4-)-4-(4-) -2- (11) 160mg 4ml 210mg 1,4- 42mg 가 1 2N SiO₂ (/ = 5/1) (72mg)

$C_{29}H_{32}FNO_7S$ ESIMS m/z : 557(M^+)

VI

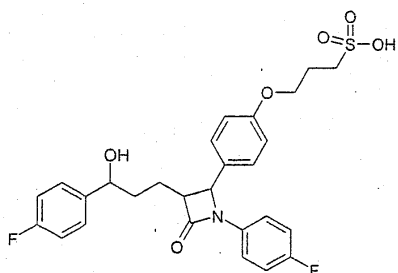
4-(4-{1-(4-)-3-[3-(4-)-3-]-4- -2- }-) -1- (13):



1-(4-)-3-[3-(4-)-3-]-4-(4-) -2- (7) 25 0mg 6ml 337mg 1,4- 69μl 가 10g SiO₂ (131mg) (/ = 5/1)

C₂₈H₂₉F₂NO₆S ESIMS m/z:546(M⁺)

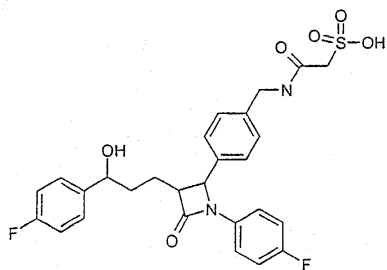
VII

$$3-(4-\{1-(4-\dots)-3-[3-(4-\dots)-3-\dots]-4-\dots-2-\dots\}-\dots)-1-\dots \quad (14):$$


1-(4-)-3-[3-(4-)-3-]-4-(4-) -2- (7) 25
0mg 6ml 337mg 1,3- 59μℓ 7g
10g SiO₂
(250mg)
(/ = 5/1)

C₂₇H₂₇F₂NO₆S ESIMS m/z:532(M⁺)

VIII

$$(4 - \{1 - (4 - \dots) - 3 - [3 - (4 - \dots) - 3 - \dots] - 4 - \dots - 2 - \dots\} - \dots) \quad (18):$$


a) $4 - [5 - (4 - \quad)] - 1 - (4 - \quad) - 5 - \quad - 2 - (2 - \quad - 4 - \quad) - 3 - \quad) - \quad$ (15):

3- [5-(4-)-5-]-4- 2- 2.5g 30ml
4- [(4-)-]- 3.9g 가 -10 .
6.4ml 4.05ml 30 가 -5
가 1 -25 .
0.8ml 가 . -25 -30 7%
35ml 가 1 . 20%
15ml 가 30ml
10ml 2ml 가 , 30
가 / .

S(ESI):654.3(M+H⁺), 582.2(M+H⁺-Si(CH₃)₃).

$$\text{b) } \{1-(4-\quad)-3-[3-(4-\quad)-3-\quad]-4-\quad-2-\quad\}- \quad (16):$$

4-[5-(4-)-1-(4-)-5- -2-(2- -4- - -3-)-]- (15) 2g -3 - 20ml 3

100mg, 1.3ml, 1, 40, 가, 30, 1, 1, 10:1, 20ml, 가, 1, 1, 1/2N, = 10:1, 가, ,

(1) , 418.45 (C₂₅H₂₀F₂N₂O₂) (SiO₂, CH₂Cl₂ / =100 ; MS(DCI):419(M+H⁺).

c) 4-(4-)-1-(4-)-3-[3-(4-)-3-]- -2- (17):

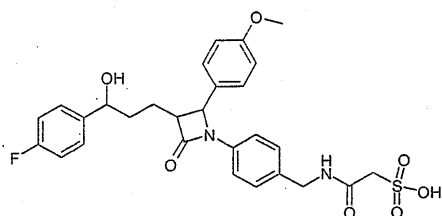
{1-(4-)-3-[3-(4-)-3-]-4- -2- }- (16) 200mg, 20ml, 0.5ml, 75bar, 25, 30, (SiO₂, C₂₅H₂₂F₂N₂ H₂Cl₂ / / NH₃ = 100:10:1) 422.5 (C₂₅H₂₂F₂N₂ O₂) ; MS(DCI⁺):423(M+H⁺), 405(M+H⁺-H₂O).

d) (4-{1-(4-)-3-[3-(4-)-3-]-4- -2- }- (18):

1ml, 4-(4-)-1-(4-)-3-[3-(4-)-3-]-4- -2- (17) 120mg, 48μl, 2ml, 3, 40mg, 110μl, 76mg, 가, 12, HPLC(Knauer Eurospher-100-10-C18, (0.1%)=80/20->10/90) 544.58 (C₂₇H₂₆F₂N₂O₆S₁) ; MS(ESI):527.10(M+H⁺-H₂O).

IX

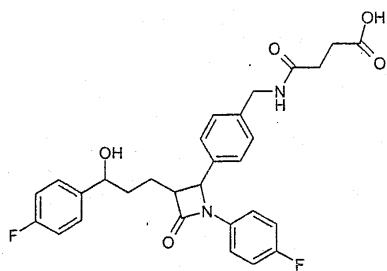
{4-[3-[3-(4-)-3-]-2-(4-)-4- -1-]- } (19):



1ml, 1-(4-)-3-[3-(4-)-3-]-4-(4-)-2- (5) 60mg, 38mg, 가, 12, 20mg, 55μl, HPLC(Knauer Eurospher-100-10-C18, (0.1%)=80/20->10/90) 556.61 (C₂₈H₂₉F₁N₂O₇S₁) ; MS(ESI):539.05(M+H⁺-H₂O).

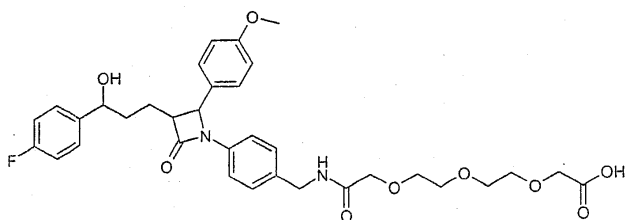
X

N-(4-{1-(4-)-3-[3-(4-)-3-]-4- -2- }-) (20):



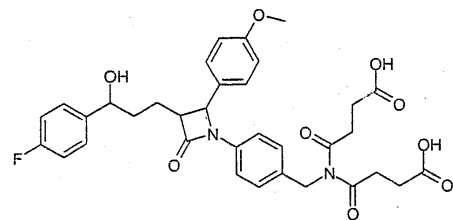
$\text{C}_{27}\text{H}_{26}\text{F}_2\text{N}_2\text{O}$ (17) 100mg, 2ml 4-(4-)-1-(4-)-3-[3-(4-)-3- 279mg, 33 μl 80mg 가 12 .
 HPLC(Knauer Eurospher - 100-10-C18, (0.1%)/(C₂₇H₂₆F₂N₂O) (0.1%)=80/20->10/90) 522.55
 ; MS(ESI):545.19(M+Na⁺).

XI

$$\{2-[2-(\{4-[3-[3-(4- \quad)-3- \quad]-2-(4- \quad)-4- \quad -1- \quad] \quad) \quad] \quad \} \quad \} \quad \} \quad (21):$$


$(C_{34}H_{39}F_1N_2O_9)$ 327mg, 1ml, 1-(4-
)-3-[3-(4-
)-3-
]-4-(4-
 2ml, 3,6,9-
 50mg, 12
 HPLC(Knauer Eurospher-100-10-C18, (0.1%
)=80/20->10/90) 638.70
 ; MS(ESI):639.27(M+H⁺).

XIII

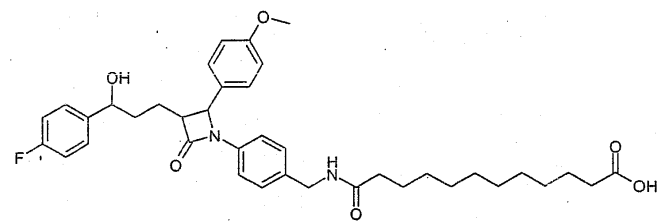
$$4 - ((3 - \dots) - \{4 - [3 - (4 - \dots) - 3 - \dots] - 2 - (4 - \dots) - 4 - \dots - 1 - \dots\}) - 4 - \dots \quad (22):$$


1ml 1-(4-)-3-[3-)-3-]-4-(4-)
 -2- (5) 70mg, 23μl 55mg 가 2ml 190mg,
 63μl, HPLC(Knauer Eurospher -100-10-C18, (0.1%)/
 %)=80/20->10/90) 634.4 (C₃₄H₃₅F₁N₂
 O₉) ; MS(ESI-neg):633.22(M-H⁻).

XIII

11-{4-[3-[3-(4-)-3-]-2-(4-)-4- -1-] }

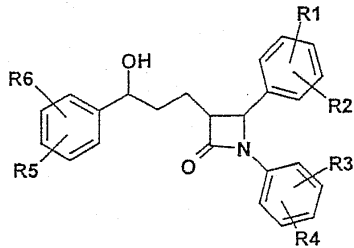
(23):

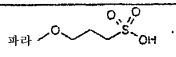
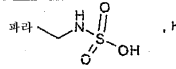
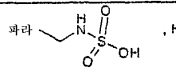
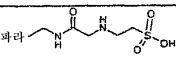
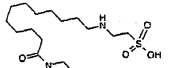
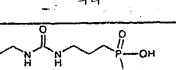
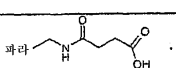
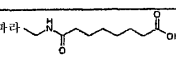
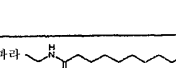
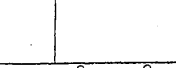
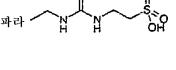


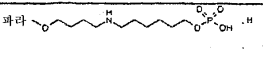
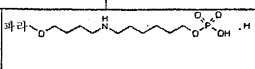
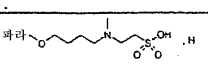
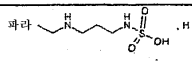
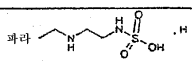
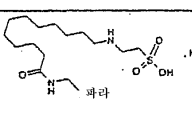
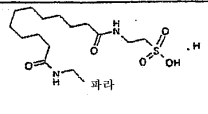
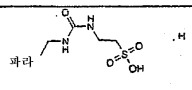
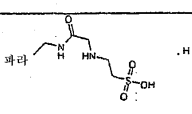
1ml 1-(4-)-3-[3-(4-)-3- -]-4-(4-)
(5) 70mg, 23μl 55mg 가 2ml 371mg,
63μl, HPLC(Knauer Eurospher-100-10-C18, (0.1%)/
(0.1%)=80/20->10/90) 646.81 (C₃₈ H
47 F₁ N₂ O₆) ; MS(ESI):647.35(M+H⁺).

[1]

화학식 I의 화합물



실시예	R1, R2	R3, R4	R5, R6	유리 열기 또는 산의 분자량 (계산치)	분자량 (실측치)
XIV		파라 -F, H	파라 -F, H	531.58	532.4 (MH ⁺)
XV		파라 -F, H	파라 -F, H	502.54	503.3 (MH ⁺)
XVI	파라 -F, H		파라 -F, H	514.58	515.4 (MH ⁺)
XVII	파라 -O-CH ₃ , H		파라 -F, H	599.68	599.21 (M ⁺)
XVIII	파라 -O-CH ₃ , H		파라 -F, H	739.95	740.42 (MH ⁺)
XIX	파라 -O-CH ₃ , H		파라 -F, H	599.60	600.34 (MH ⁺)
XX	파라 -O-CH ₃ , H		파라 -F, H	534.59	534.4 (MH ⁺)
XXI		파라 -F, H	파라 -F, H	578.66	561.25 (MH ⁺ - H ₂ O)
XXII		파라 -F, H	파라 -F, H	634.77	617.31 (MH ⁺ - H ₂ O)
XXIII	파라 -F, H		파라 -F, H	585.65	567.70 (MH ⁺ - H ₂ O)
XXIV	파라 -O-CH ₃ , H		파라 -F, H	557.64	557.19 (M ⁺)

XXV		파라 -F, H	-F, H	660.70	660.28 (M ⁺)
XXVI	파라 -O-CH ₃ , H		-F, H	600.62	600.24 (M ⁺)
XXVII	파라 -O-CH ₃ , H		-F, H	614.73	597.32 (M-H ₂ O) ⁺ 1
XXVIII		파라 -F, H	-F, H	559.64	560.4 (MH ⁺)
XXIX		파라 -F, H	-F, H	545.61	546.3 (MH ⁺)
XXX		파라 -F, H	-F, H	727.91	710.23 (MH ⁺ -H ₂ O)
XXXI	파라 -O-CH ₃ , H		파라 -F, H	753.93	752.32 (M-H ⁺); 네가티브 방식으로 측정됨
XXXII		파라 -F, H	파라 -F, H	573.62	572.09 (M-H ⁺); 네가티브 방식으로 측정됨
XXXIII		파라 -F, H	파라 -F, H	587.67	586.18 (M-H ⁺); 네가티브 방식으로 측정됨

I :

+ ³H-

n 4 6 NMRI (Altromin, Lage(Lippe)) (¹⁴C-)

가 , (Intralipid 20 ¹⁴C- , Pharmacia-Upjohn) 24
³H-TCA() (, 1 μCi/ 5 μCi/).

0.25 μCi : 0.25ml/ Intralipid 20(Pharmacia-Upjohn)(0.1mg ¹⁴C-)

0.5% ()/5% (BASF, Ludwigshafen)

0.5ml/ (¹⁴C- Intralipid)

()

24 : ¹⁴C- ³H- (TCA) 24

/ ¹⁴C-

가

:

(O_2 3H -ED₂₀₀ 307(^{14}C -: Packard)) : (3H -H₂O ^{14}C -C (TCA)).

2 가

:

^{14}C - ^{14}C - 가 (50%) . ED₅₀

ED₅₀ I .

ED₅₀ () [mg/]

I 1.0

II >0.1

IV 0.3

VIII 0.3

IX <1.0

X <1.0

XIII <0.1

XVIII 0.005

XXI 0.1

XXII 0.1

XXV 0.3

XXVIII 0.3

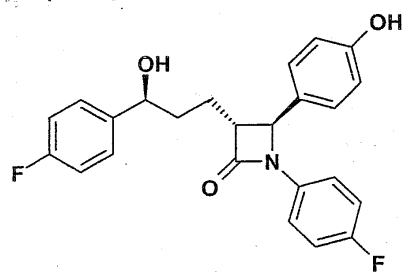
, I .

:

I (A.R. Hilgers et al., Caco-2 cell monolayers as a model for drug transport across the intestinal mucosa, Pharm. Res. 1990, 7, 902) .

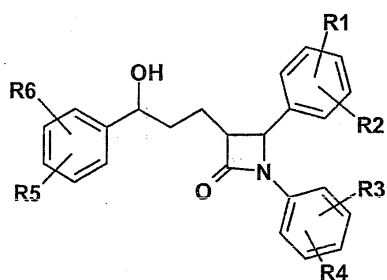
I ()

:



(57)

1.
 2-[[4-(4-{1-(4-
) }
)-3-[3-(4-
 R1-R6 -O-(CH₂)₁₋₁₀-COOH, (C₁-C₆)-
]-4-
 -2- }
 -COOH
 I



R1, R2, R3, R4, R5 R6
 , (C₀-C₃₀)-
 -S(O)_n-(₂), -O-, -(C=O)-, -(C=S)-, -CH=CH-
 , -C₁-C₆-, -N((C₁-C₆)-
 -NH-
];

H, F, Cl, Br, I, CF₃, NO₂, N₃, CN, COOH, COO(C₁-C₆)-, CONH₂, CONH(C₁-C₆)-, CON
 [(C₁-C₆)-
]₂, (C₁-C₆)-, (C₂-C₆)-, (C₂-C₆)-, O-(C₁-C₆)-
); C(=NH)(NH₂), PO₃H₂, SO₃H, SO₂
 -NH₂, SO₂NH(C₁-C₆)-, SO₂N[(C₁-C₆)-
]₂, S-(C₁-C₆)-, S-(CH₂)_n-, S
 O-(C₁-C₆)-, SO-(CH₂)_n-, SO₂-(C₁-C₆)-
 SO₂-(CH₂)_n-
 F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-, (C₁-C₆)-
 NH₂ 2
);

NH₂, NH-(C₁-C₆)-, N((C₁-C₆)-
)₂, NH(C₁-C₇)-, O-(CH₂)_n-
 F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-, (C₁-
 C₆)-, NH₂, NH(C₁-C₆)-, NH((C₁-C₆)-
)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-
 CONH₂
) ;

(LAG)_n-(CH₂)₁₋₁₀-SO₃H, -(CH₂)₀₋₁₀-P(O)(OH)₂, (CH₂)₀₋₁₀-O-P(O)(OH)₂-(CH₂)
 0-10-COOH
 n 1 5
 ;

, R1 R6
 , (C₀-C₃₀)-
 -S(O)_n-(₂), -O-, -(C=O)-, -(C=S)-, -C

H=CH-, -C(C)-, -N((C₁-C₆)-)-, -N(), -N((C₁-C₆)-)-, -N(CO-(CH₂)₁₋₁₀-COO
H)-, -NH-

2.

1 ,

2-{[4-(4-{1-(4-)-3-[3-(4-)-3-]-4- -2- }
)] }
R1-R6 -O-(CH₂)₁₋₁₀-COOH, (C₁-C₆)- -COOH
-COOH ,

R2, R4, R5 R6 , H, F, Cl, Br, I, CF₃, NO₂, N₃, CN, COOH, COO(C₁-C₆)-, CO
NH₂, CONH(C₁-C₆)-, CON[(C₁-C₆)-]₂, (C₁-C₆)-, (C₂-C₆)-, (C₂-C₆)
)-, O-(C₁-C₆)- (,); C(=NH)
(NH₂), PO₃H₂, SO₃H, SO₂-NH₂, SO₂NH(C₁-C₆)-, SO₂N[(C₁-C₆)-]₂, S-(C₁-
C₆)-, S-(CH₂)_n-, SO-(C₁-C₆)-, SO-(CH₂)_n-, SO₂-(C₁-C₆)- SO
2-(CH₂)_n- (, n 0 6 F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(
C₁-C₆)-, (C₁-C₆)- NH₂ 2);

NH₂, NH-(C₁-C₆)-, N((C₁-C₆)-)₂, NH(C₁-C₇)-, O-(CH₂)_n- (,
n 0 6 F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-, (C₁-
C₆)-, NH₂, NH(C₁-C₆)-, NH((C₁-C₆)-)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-
CONH₂) ;

R1 R3 (C₀-C₃₀)- -(LAG)[, -O-,
-(C=O)-, -N(CH₃)- -NH-],

H, F, Cl, Br, I, CF₃, NO₂, N₃, CN, COOH, COO(C₁-C₆)-, CONH₂, CONH(C₁-C₆)-, CON
[(C₁-C₆)-]₂, (C₁-C₆)-, (C₂-C₆)-, (C₂-C₆)
)-, O-(C₁-C₆)- (,); C(=NH)(NH₂), PO₃H₂, SO₃H, SO₂
-NH₂, SO₂NH(C₁-C₆)-, SO₂N[(C₁-C₆)-]₂, S-(C₁-C₆)-, S-(CH₂)_n-, S
O-(C₁-C₆)-, SO-(CH₂)_n-, SO₂-(C₁-C₆)- SO₂-(CH₂)_n- (, n 0
6 NH₂ 2 F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-, (C₁-C₆)-
);

NH₂, NH-(C₁-C₆)-, N((C₁-C₆)-)₂, NH(C₁-C₇)-, O-(CH₂)_n- (,
n 0 6 F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-, (C₁-
C₆)-, NH₂, NH(C₁-C₆)-, NH((C₁-C₆)-)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-
CONH₂) ;

(LAG)_n -(CH₂)₁₋₁₀-SO₃H, -(CH₂)₀₋₁₀-P(O)(OH)₂, (CH₂)₀₋₁₀-O-P(O)(OH)₂ -(CH₂)
0-10 -COOH ;

, R1 R3 가 (C₀-C₃₀)- -(LAG)[,
-O-, -(C=O)-, -N(CH₃)- -NH-] I

3.

1 2 ,

2-{[4-(4-{1-(4-)-3-[3-(4-)-3-]-4- -2- }
)] }
R1-R6 -O-(CH₂)₁₋₁₀-COOH, (C₁-C₆)- -COOH
-COOH ,

R2, R4, R5 R6 , H, F, Cl, Br, I, CF₃, NO₂, N₃, CN, COOH, COO(C₁-C₆)-, CO
NH₂, CONH(C₁-C₆)-, CON[(C₁-C₆)-]₂, (C₁-C₆)-, (C₂-C₆)-, (C₂-C₆)
)-, O-(C₁-C₆)- (,); C(=NH)
(NH₂), PO₃H₂, SO₃H, SO₂-NH₂, SO₂NH(C₁-C₆)-, SO₂N[(C₁-C₆)-]₂, S-(C₁-
C₆)-, S-(CH₂)_n-, SO-(C₁-C₆)-, SO-(CH₂)_n-, SO₂-(C₁-C₆)- SO

$-(CH_2)_n-$ (, n 0 6 F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)- , (C₁-C₆)- NH₂ 2);

NH₂, NH-(C₁-C₆)- , N((C₁-C₆)-)₂, NH(C₁-C₇)- , O-(CH₂)_n- (, n 0 6 F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)- , (C₁-C₆)- , NH₂, NH(C₁-C₆)- , NH((C₁-C₆)-)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)- CONH₂) ;

R1 R3 -(CH₂)₀₋₁-Y-W-(C₀-C₂₅)- -Y'-W'-(LAG)[, -O-];

H, F, Cl, Br, I, CF₃, NO₂, N₃, CN, COOH, COO(C₁-C₆)- , CONH₂, CONH(C₁-C₆)- , CO N[(C₁-C₆)-]₂, (C₁-C₆)- , (C₂-C₆)- , (C₂-C₆)- , O-(C₁-C₆)- (, n 0 6 F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)- , (C₁-C₆)-); C(=NH)(NH₂), PO₃H₂, SO₃H, SO₂-NH₂, SO₂NH(C₁-C₆)- , SO₂N[(C₁-C₆)-]₂, S-(C₁-C₆)- , S-(CH₂)_n- , S O-(C₁-C₆)- , SO-(CH₂)_n- , SO₂-(C₁-C₆)- SO₂-(CH₂)_n- (, n 0 6 F, Cl, Br, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)- , (C₁-C₆)- NH₂ 2);

NH₂, NH-(C₁-C₆)- , N((C₁-C₆)-)₂, NH(C₁-C₇)- , O-(CH₂)_n- (, n 0 6 F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)- , (C₁-C₆)- , NH₂, NH(C₁-C₆)- , NH((C₁-C₆)-)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)- CONH₂) ;

Y, W, Y' W'가 NH, NCH₃, C=O, O, S(O)_n (, n 0 2) ;

Y-W Y'-W' ;

(LAG)가 -(CH₂)₁₋₁₀-SO₃H, -(CH₂)₀₋₁₀-P(O)(OH)₂, (CH₂)₀₋₁₀-O-P(O)(OH)₂ -(CH₂)₀₋₁₀-COOH ;

, R1 R3 가 -(CH₂)₀₋₁-Y-W-(C₀-C₂₅)- -Y'-W'-(LAG)[, -O-]

4.

1 3 , (LAG) I

5.

1 4 .

6.

1 4 가 .

7.

6 , 가 , .

8.

6 7 , 가 , PPAR , PPAR , PPAR / , HMGCoA , MTP , CETP , LDL , ACAT , ATP , CART , NPY , MC4 , H3 , TNF , CRF , CRF BP , 3 , MSH() , CCK , 5HT , 2 3 , DA , TRH ,

(,), / , PPAR , RXR TR-

9. 1 4 , .

10. , 1 4 .

11. 1 4 .

12. 1 4 .

13. 1 4 .

14. 1 4 .